NAD AG Our Ref.: 259-9

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Claims

## 1. A compound of the general formula (I)

10  $R_{9}$   $R_{11}$   $R_{10}$   $R_{7}$   $R_{10}$   $R_{10}$   $R_{10}$   $R_{11}$   $R_{10}$   $R_{11}$   $R_{12}$   $R_{11}$   $R_{11}$   $R_{12}$   $R_{12}$   $R_{11}$   $R_{12}$   $R_{12}$   $R_{11}$   $R_{12}$   $R_{12}$   $R_{13}$   $R_{14}$   $R_{15}$   $R_{15}$ 

including diastereomeric and enantiomeric forms, mixtures of diastereomeric and enantiomeric forms, or pharmaceutically acceptable salt forms,

## wherein

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is  $NR_{13}R_{14}$  or may join together with  $R_2$  to form an optionally substituted  $R_1$ saturated or unsaturated N-heterocycle (e.g. spiro-hydantoyl) or may join 30 together with R3 to form an optionally substituted saturated or unsaturated Nheterocycle (e.g. oxazolin-2-one-4,5-diyl); is selected from the group consisting of H, lower alkyl, aryl, heteroaryl, CN,  $R_2$ COR<sub>13</sub>, COOR<sub>13</sub>, CONHR<sub>13</sub>, and CONR<sub>13</sub>R<sub>14</sub>; is selected from the group consisting of H, OR13, OCOR13, OCONHR13, and  $R_3$ 35 OCONR<sub>13</sub>R<sub>14</sub>; R4,R5,R6,R7 taken alone can be the same or different and are each independently selected from the group consisting of H, halogen, lower alkyl, lower alkenyl, lower alkynyl, aryl or heteroaryl, CN, COR13, COOR13, CONHR13, CONR13R14,  $CSR_{13}$ ,  $CSSR_{13}$ ,  $NR_{13}R_{14}$ ,  $NHCOR_{13}$ ,  $NHCOOR_{13}$ ,  $NHSO_2R_{13}$ ,  $N_3$ ,  $OR_{13}$ , 40 OCOR<sub>13</sub>, SR<sub>13</sub>, SO<sub>2</sub>R<sub>13</sub>, and SiR<sub>15</sub>R<sub>16</sub>R<sub>17</sub>; wherein R<sub>15</sub>, R<sub>16</sub> and R<sub>17</sub> can be the same or different and are independently selected from the group consisting of H, lower alkyl, aryl and heteroaryl; when taken alone they are both H, or one of them is H and the other is OH, or  $R_8,R_9$ 45

when taken alone they are both H, or one of them is H and the other is OH, or when taken together they are the oxygen atom of a carbonyl group or the sulfur atom of a thiocarbonyl group; and with the proviso that when  $R_{10}$ ,  $R_{11}$  are different from carbonyl  $R_{8}$ ,  $R_{9}$  taken together are the oxygen atom of a carbonyl group or the sulfur atom of a thiocarbonyl group;

when taken alone they are both H, or one of them is H and the other is OH, or when taken together they are the oxygen atom of a carbonyl group or the sulfur atom of a thiocarbonyl group; and with the proviso that when R<sub>8</sub>, R<sub>9</sub> are different

from carbonyl  $R_{10}$ ,  $R_{11}$  taken together are the oxygen atom of a carbonyl group or the sulfur atom of a thiocarbonyl group;

 $R_{12}$ 

is selected from the group consisting of H, lower alkyl, cycloalkyl, substituted benzyl, aryl, heteroaryl, COR13, COOR13, NR13R14, and OR13,

5 and wherein R<sub>13</sub> and R<sub>14</sub>

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can be the same or different and are independently selected from the group consisting of H, lower alkyl, cycloalkyl, optionally substituted acyl, aryl, optionally substituted benzyl and heteroaryl rest; or may join together to form N<sub>3</sub> or an optionally saturated or unsaturated N-heterocyle (e.g. morpholino, optionally substituted triazolyl, optionally substituted tetrazolyl, piperidinyl).

A compound according to claim 1 of the general formula (IA) 2.

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$$R_{9}$$
 $R_{12}$ 
 $R_{11}$ 
 $R_{10}$ 
 $R_{7}$ 
 $R_{6}$ 
 $R_{3}$ 
 $R_{2}$ 
 $R_{1}$ 
 $R_{1}$ 
 $R_{2}$ 
 $R_{3}$ 
 $R_{2}$ 

including diastereomeric and enantiomeric forms, mixtures of diastereomeric and enantiomeric forms, or pharmaceutically acceptable salt forms,

wherein R1 to R12 are as defined in claim 1.

A compound according to claim 1 or 2 including diastereomeric and enantiomeric forms, mixtures of diastereomeric and enantiomeric forms, or pharmaceutically acceptable salt forms. wherein

 $R_1$ is NR<sub>13</sub>R<sub>14</sub>;

is selected from the group consisting of H, CN, COOR13, CONHR13, and  $R_2$  $CONR_{13}R_{14}$ ;

 $R_3$ is selected from the group consisting of H and OH;

R4, R5, R6, R7 taken alone can be the same or different and are each independently selected from the group consisting of H, CONHR<sub>13</sub>, CONR<sub>13</sub>R<sub>14</sub>, NR<sub>13</sub>R<sub>14</sub>, NHCOR<sub>13</sub>, NHCOOR<sub>13</sub>, NHSO<sub>2</sub>R<sub>13</sub>, and OR<sub>13</sub>;

are both H, or one of them is H and the other is OH, or taken together they are 45  $R_8,R_9$ the oxygen atom of a carbonyl group; and with the proviso that when  $R_{10}$ ,  $R_{11}$  are different from carbonyl R<sub>8</sub>,R<sub>9</sub> taken together are the oxygen atom of a carbonyl

are both H, or one of them is H and the other is OH, or taken together they are  $R_{10}$ ,  $R_{11}$ the oxygen atom of a carbonyl group; and with the proviso that when R8,R9 are 50

different from carbonyl R<sub>10</sub>,R<sub>11</sub> taken together are the oxygen atom of a carbonyl group;

R<sub>12</sub> is selected from the group consisiting of H, substituted lower alkyl, NR<sub>13</sub>R<sub>14</sub>, and OR<sub>13</sub>,

5 and wherein

R<sub>13</sub> and R<sub>14</sub> can be the same or different and are independently selected from the group consisting of H and substituted lower alkyl.

4. A compound according to any one of claims 1 to 3 including diastereomeric and enantiomeric forms, mixtures of diastereomeric and enantiomeric forms, or pharmaceutically acceptable salt forms, wherein

is NHR<sub>13</sub>, wherein R<sub>13</sub> is selected from the group consisting of H and substituted lower alkyl;

R<sub>2</sub> is selected from the group consisting of CN, COOR<sub>13</sub>, and CONHR<sub>13</sub>, wherein R<sub>13</sub> is selected from the group consisting of H and substituted lower alkyl;

R<sub>3</sub> is selected from the group consisting of H and OH;

20 R<sub>4</sub>,R<sub>5</sub>,R<sub>6</sub>,R<sub>7</sub> taken alone can be the same or different and are each independently selected from the group consisting of H, NHR<sub>13</sub>, and OR<sub>13</sub>, wherein R<sub>13</sub> is selected from the group consisting of H and substituted lower alkyl;

 $R_8,R_9$  are both H, or taken together they are the oxygen atom of a carbonyl group; with the proviso that when  $R_{10},R_{11}$  are different from carbonyl  $R_8,R_9$  taken together are the oxygen atom of a carbonyl group:

are both H, or taken together they are the oxygen atom of a carbonyl group; with the proviso that when  $R_8$ ,  $R_9$  are different from carbonyl  $R_{10}$ ,  $R_{11}$  taken together are the oxygen atom of a carbonyl group;

 $R_{12}$  is H.

 $R_{10}, R_{11}$ 

5. A compound according to any one of claims 1 to 4 of the general formula (IB)

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$$R_{5}$$

$$R_{12}$$

$$R_{7}$$

$$R_{1}$$

$$R_{1}$$

$$R_{1}$$

$$R_{2}$$

$$R_{3}$$

$$R_{2}$$

$$R_{3}$$

$$R_{2}$$

$$R_{3}$$

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including diastereomeric and enantiomeric forms, mixtures of diastereomeric and enantiomeric forms, or pharmaceutically acceptable salt forms,

wherein  $R_1$  to  $R_7$  and  $R_{12}$  are as defined in any one of claims 1 to 4.

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- 6. A compound according to any one of claims 1 to 5, wherein  $R_4, R_5, R_6, R_7$  are all H.
- 7. Use of a compound according to any one of claims 1 to 6 for inhibiting the activity of one or more protein kinases.

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- 8. The use according to claim 7, wherein the one or more protein kinases are selected from the group consisting of extracellular signal regulated kinase 2, protein kinase A, protein kinase C, and glycogen synthase kinase  $3\beta$ .
- 15 9. A medicament comprising a compound according to any one of claims 1 to 6.
  - 10. Use of a compound according to any one of claims 1 to 6 for treating non-insulin dependent diabetes mellitus, acute stroke and other neurotraumatic injuries, for treating diabetes mellitus, as a chemotherapeutic for the treatment of various malignant diseases, for treating diseases caused by malfunctioning of specific signaling pathways, and for treating neurodegenerative diseases such as for example Alzheimer's disease.